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New arylalkananilide cpds. - are ACAT inhibitors, for reducing blood lipid

levels and for treating arteriosclerosis

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## Alerting Abstract WO Al

Arylalkananilide cpds. of formula (I) and their salts are new. In (I), X = S, O, NR", CO, CH2 or a bond; R11 = H or 1-4C alkyl; R1 = 5-18C alkyl, 2-18C alkenyl, 2-18C alkynyl, 3-8C cycloalkyl (opt. substd. by 1-4C alkyl or 3-8C cycloalkyl), 1-4C alkyl (opt. substd. by 3-8C cycloalkyl, NH2 mono or di (1-12C alkyl) amino) or a gp. of formula (a): m = 0-3; R9, R10 = H, halo, OH, CN, 1-12C alkyl, 1-12C alkoxy, 3-8C cycloalkyl, (opt. substd. by 1-4C alkyl), 1-4C haloalkyl, or opt. substd. aryl, aralkyl, aralkoxy, aralkenyl or aralkynyl; Z = CH or N; D, E = H or 1-4C alkyl; or D + E with ring Q = naphthalene, 1,2,3,4-tetrahydronaphthalene, quinoline or 5, 6, 7, 8-tetrahydroquinoline; R2, R3 = H, halo, OH, CN, 1-12C alkyl, 1-12C alkoxy, 3-8C cycloalkyl (opt. substd. by 1-4C alkyl) 1-4C haloalkyl, or opt. substd. aryl, aralkyl, aralkoxy, aralkenyl or aralkynyl; or R1 X + R3 = naphthalene or heterocyclyl opt. substd. by halo, OH, CN, 1-8C alkyl or 1-8C alkoxy; R4, R5 = H, 1-4C alkyl (opt. substd. by 3-8C cycloalkyl), 3-8C cycloalkyl (opt. substd. by 1-4C alkyl), or aralkyl; or CR4CR5 = 1 3-8C hydrocarbyl ring; R6, R8 = H, halo, 1-4C alkyl or 1-4C alkoxy.

USE - (I) are ACAT inhibitors which reduce blood lipid levels and are thus useful for treating arteriosclerosis. Dosage is 1-500 mg/day in one or more divided doses orally or parenterally.

USE - kyl,

Title Terms /Index Terms/Additional Words: NEW; ARYL; ALKAN; ANILIDE; COMPOUND; INHIBIT; REDUCE; BLOOD; LIPID; LEVEL; TREAT; ARTERIOSCLEROSIS

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WIPO Publication No. WO 1993015043 A1 (Update 199332 B) Publication Date: 19930805 \*\*ARYLALKANANILIDE COMPOUND AND PHARMACEUTICAL USE THEREOF\*\* Assignee: YOSHITOMI PHARMACEUTICAL INDUSTRIES, LTD., JP (YOSH) Inventor: OE, TAKANORI, JP SANO, MITSUHARU, JP IKEZAWA, RYUHEI, JP IZUMI, NORIYOSHI, JP KUSUHARA H Language: JA (49 pages, 0 drawings) Application: WO 1993JP35 A 19930113 (Local application) Priority: JP 199234270 A 19920124 Designated States: (National Original) CA HU JP KR US (Regional Original) AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE Original IPC: C07C-233/11(A) A61K-31/165(B) A61K-31/275(B) A61K-31/47(B) C07C-233/13(B) C07C-233/80(B) C07C-235/38(B) C07C-321/28(B) C07D-213/79(B) C07D-215/12(B) C07D-215/20(B) C07D-215/36(B) Current IPC: C07C-233/11(A) A61K-31/165(B) A61K-31/275(B) A61K-31/47(B) C07C-233/13(B) C07C-233/80(B) C07C-235/38(B) C07C-321/28(B) C07D-213/79(B) C07D-215/12(B) C07D-215/20(B) C07D-215/36(B) Original Abstract: An arylalkananilide compound represented by general formula (I) or a pharmaceutically acceptable salt thereof, wherein X represents S, O, NR", CO, CH2 or a chemical bond; R1 represents C5-C18 alkyl, optionally substituted phenyl, pyridyl, etc.; R2 and R3 represent each hydrogen, halogen, alkyl, alkoxy, etc.; R4 and R5 represent each hydrogen, alkyl, cycloalkyl, etc.; R6, R7 and R8 represent each hydrogen, halogen, alkyl, etc.; and n represents 0, 1 or 2. The compound has an ACAT inhibitory effect and is useful for

reducing lipid content and treating arteriosclerosis.